

## **CURRENT LISTING OF CLAIMS**

. (original) A compound of Formula I:

$$N-R^2$$
 (I)

wherein:

R<sup>1</sup> is -NR<sup>a</sup>R<sup>b</sup>, -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>, CO<sub>2</sub>R<sup>a</sup>, or -C(O)NR<sup>a</sup>R<sup>b</sup>; or R<sup>1</sup> is hydrogen, cycloalkenyl, aryl, or heteroaryl, where each aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfonyl, halogen, haloalkyl, cyano, nitro, -C(O)NR<sup>a</sup>'R<sup>b</sup>', and -NR<sup>a</sup>'R<sup>b</sup>', where R<sup>a'</sup> and R<sup>b'</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-9</sub> alkylcarbonyl;

 $R^2$  is hydrogen,  $C_{1-6}$  alkyl,  $C_{3-6}$  cycloalkyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkyl,  $C_{1-6}$  alkylcarbonyl,  $C_{1-6}$  alkylsulfonyl, aryl, or arylalkyl, wherein said aryl or arylalkyl is optionally substituted with one or more substituents independently selected from  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, and halogen;

R<sup>3</sup> is aryl or heteroaryl, each optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfonyl, aminosulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, halogen, haloalkyl, cyano, nitro, and -NR<sup>a</sup> R<sup>b</sup>, where R<sup>a</sup> and R<sup>b</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-9</sub> alkylcarbonyl;

R<sup>a</sup> and R<sup>b</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylthioalkyl, carboxyalkyl, acyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl, alkyl, di-C<sub>3-6</sub> cycloalkylC<sub>1-3</sub> alkyl, C<sub>1-6</sub> heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C<sub>5-8</sub> heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenylalkyl, and C<sub>1-3</sub> alkyl substituted with both a C<sub>3-6</sub> cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R<sup>a</sup> and R<sup>b</sup> are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine,

tetrahydropyridine, 1.2.3.4-tetrahydroguinoline, 1,2,3,4-tetrahydroisoguinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, aminoalkyl, acylamino, aminocarbonyl, aminocarbonylalkyl, alkoxyalkyl, acyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

R<sup>c</sup> is hydrogen, hydroxy, C<sub>1-6</sub> alkoxy, or -NR<sup>a'''</sup>R<sup>b'''</sup>;

- R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylthioalkyl, heteroalkyl, heterocyclyl, heterocyclylalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, di-C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C<sub>1-3</sub>alkyl, and C<sub>1-3</sub> alkyl substituted with both a C<sub>3-6</sub> cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, and halogen; or
- $R^c$  and  $R^d$  are taken together to form a divalent group selected from  $C_{1-6}$  alkylidenyl,  $C_{3-6}$  cycloalkylidenyl,  $C_{3-6}$  cycloalkyl-alkylidenyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkylidenyl,  $C_{3-6}$  heterocyclylidenyl,  $C_{3-6}$  heterocyclyl- $C_{1-3}$  alkylidenyl,  $C_{3-6}$  heterocyclylalkyl- $C_{1-3}$  alkylidenyl, aryl- $C_{1-3}$  alkylidenyl, heteroaryl- $C_{1-3}$  alkylidenyl, and heteroarylalkyl- $C_{1-3}$  alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, amino, alkylamino, dialkylamino, and halogen; or
- R<sup>d</sup> and R<sup>e</sup> are taken together with the carbon to which they are attached to form a cycloalkyl or heterocyclyl ring;
- R<sup>a</sup> and R<sup>b</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylthioalkyl, carboxyalkyl, acyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, di-C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, C<sub>1-6</sub> heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C<sub>5-8</sub> heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C<sub>1-3</sub> alkyl, and C<sub>1-3</sub> alkyl substituted with both a C<sub>3-6</sub> cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups

is optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

Ra and Rb are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyridine, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, alkoxyalkyl, aminoalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

or individual isomers, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts thereof.

- 2. (original) The compound of claim 1 wherein R<sup>3</sup> is optionally substituted phenyl.
- 3. (original) The compound of claim 2, wherein R<sup>3</sup> is a di- or tri-substituted phenyl.
- 4. (original) The compound of claim 3, wherein R<sup>3</sup> is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl.
- 5. (original) The compound of claim 4, wherein R<sup>3</sup> is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are each independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, halogen, haloalkyl, cyano, alkylamino, dialkylamino, and nitro.
- 6. (original) The compound of claim 5, wherein  $R^2$  is hydrogen,  $C_{1-6}$  alkyl, or  $C_{1-6}$  alkylcarbonyl.
- 7. (original) The compound of claim 3, wherein  $R^1$  is  $-CR^cR^dR^e$  and  $R^c$  is hydroxy.
- 8. (original) The compound of claim 7, wherein R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen.
- 9. (original) The compound of claim 7, wherein R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, aryl, and heteroaryl, where each of said aryl or heteroaryl

- groups is optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, and halogen.
- 10. (original) The compound of claim 9, wherein R<sup>2</sup> is C<sub>1-6</sub> alkyl; and R<sup>3</sup> is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are each independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halogen, haloalkyl, cyano, and -NR<sup>a</sup>"R<sup>b</sup>", where R<sup>a</sup>" and R<sup>b</sup>" are each independently selected from the group consisting of hydrogen and C<sub>1-9</sub> alkyl.
- 11. (original) The compound of claim 7, wherein R<sup>d</sup> and R<sup>e</sup> are taken together to form a cycloalkyl or heterocyclyl group.
- 12. (original) The compound of claim 3, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>; R<sup>e</sup> is selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen; and R<sup>e</sup> and R<sup>d</sup> are taken together to form a divalent group selected from C<sub>1-6</sub> alkylidenyl, C<sub>1-6</sub> heteroalkylidenyl, C<sub>3-6</sub> cycloalkylidenyl, C<sub>3-6</sub> cycloalkyl-alkylidenyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkylidenyl, C<sub>3-6</sub> heterocyclylidenyl, C<sub>3-6</sub> heterocyclyl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, heteroaryl-C<sub>1-3</sub> alkylidenyl, and heteroarylalkyl-C<sub>1-3</sub> alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted.
- 13. (original) The compound of claim 12, wherein R<sup>c</sup> and R<sup>d</sup> are taken together to form a divalent group selected from C<sub>1-6</sub> alkylidenyl, C<sub>3-6</sub> cycloalkyl-alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, and heteroaryl-C<sub>1-3</sub> alkylidenyl, wherein each of said aryl or heteroaryl groups is optionally substituted.
- 14. (original) The compound of claim 3, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>; R<sup>e</sup> is selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, and heteroaryl, where the heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen; and R<sup>c</sup> and R<sup>d</sup> are taken together to form a divalent group selected from C<sub>1-6</sub> alkylidenyl, C<sub>3-6</sub> cycloalkyl-alkylidenyl, C<sub>3-6</sub> heterocyclyl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, and heteroaryl-C<sub>1-3</sub> alkylidenyl, wherein each of said aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, and dialkylamino.
- 15. (original) The compound of claim 3, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup> and R<sup>c</sup> is hydrogen.
- 16. (original) The compound of claim 15, wherein R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl,

- arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, and halogen.
- 17. (original) The compound of claim 15, wherein R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, aryl, and heteroaryl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen; R<sup>2</sup> is C<sub>1-6</sub> alkyl; and R<sup>3</sup> is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halogen, haloalkyl, cyano, and -NR<sup>a</sup> R<sup>b</sup>, where R<sup>a</sup> and R<sup>b</sup> are each independently selected from the group consisting of hydrogen and C<sub>1-9</sub> alkyl.
- 18. (original) The compound of claim 3, wherein R<sup>1</sup> is -NR<sup>a</sup>R<sup>b</sup>; -C(O)NR<sup>a</sup>R<sup>b</sup>; or -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>, where R<sup>c</sup> is -NR<sup>a</sup>"R<sup>b</sup>" and R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen and C<sub>1-9</sub> alkyl.
- 19. (original) The compound of claim 18, wherein R<sup>a</sup>, R<sup>b</sup>, R<sup>a<sup>m</sup></sup>, and R<sup>b<sup>m</sup></sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, heterocyclylalkyl, optionally substituted arylalkyl, and optionally substituted heteroarylalkyl.
- 20. (original) The compound of claim 18, wherein R<sup>a</sup> and R<sup>b</sup>, or R<sup>a<sup>m</sup></sup> and R<sup>b<sup>m</sup></sup>, are taken together with the nitrogen to which they are attached form an heterocyclyl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, and imidazoline, where each of said rings is optionally substituted with one or more substituents independently selected from the group consisting of hydroxy, oxo, alkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, and aminocarbonylamino, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group.
- 21. (original) The compound of claim 3, wherein

R<sup>1</sup> is -NR<sup>a</sup>R<sup>b</sup>:

 $R^a$  is selected from the group consisting of hydrogen,  $C_{1-9}$  alkyl, and  $C_{1-6}$  alkoxyalkyl; and,  $R^b$  is selected from the group consisting of  $C_{1-9}$  alkyl, hydroxyalkyl,  $C_{1-6}$  alkoxyalkyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkyl, heterocyclylalkyl, arylalkyl, and heteroarylalkyl, wherein each of said aryl or heteroaryl groups is optionally substituted.

22. (original) The compound of claim 21, wherein  $R^2$  is  $C_{1-6}$  alkyl; and R3 is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are independently selected from the group consisting of  $C_1$ .

- $_6$  alkyl,  $C_{1-6}$  alkoxy, halogen, haloalkyl, cyano, and  $-NR^{a''}R^{b''}$ , where  $R^{a''}$  and  $R^{b''}$  are each independently selected from the group consisting of hydrogen and  $C_{1-9}$  alkyl.
- 23. (original) The compound of claim 3 wherein

R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>;

R<sup>c</sup> is -NR<sup>a</sup>"R<sup>b</sup>";

R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen and C<sub>1.9</sub> alkyl;

R<sup>a</sup> is selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-6</sub> alkoxyalkyl; and,

- $R^{b^{m}}$  is selected from the group consisting of  $C_{1-9}$  alkyl, hydroxyalkyl,  $C_{1-6}$  alkoxyalkyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkyl, heterocyclylalkyl, arylalkyl, and heteroarylalkyl, wherein each of said aryl or heteroaryl groups is optionally substituted.
- 24. (original) The compound of claim 23, wherein R<sup>2</sup> is C<sub>1-6</sub> alkyl; and R<sup>3</sup> is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halogen, haloalkyl, cyano, and -NR<sup>a</sup>"R<sup>b</sup>", where R<sup>a</sup>" and R<sup>b</sup>" are each independently selected from the group consisting of hydrogen and C<sub>1-9</sub> alkyl.
- 25. (original) The compound of claim 3, wherein R<sup>1</sup> is aryl or heteroaryl, where said aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylsulfonyl, halogen, haloalkyl, cyano, nitro, and –NR<sup>a</sup>'R<sup>b</sup>', where R<sup>a</sup>' and R<sup>b</sup>' are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-9</sub> alkylcarbonyl.
- 26. (original) The compound of claim 25, where said aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halogen, haloalkyl, cyano, and -NR<sup>a</sup>'R<sup>b</sup>', where R<sup>a'</sup> and R<sup>b'</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-9</sub> alkylcarbonyl.
- 27. (original) The compound of claim 1 wherein R<sup>3</sup> is an optionally substituted pyridinyl.
- 28. (original) The compound of claim 1, wherein R<sup>3</sup> is a di- or tri-substituted pyridinyl.
- 29. (original) The compound of claim 27, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup> and R<sup>c</sup> is hydroxy.
- 30. (original) The compound of claim 29, wherein R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen.
- 31. (original) The compound of claim 30, wherein  $R^d$  and  $R^e$  are each independently selected from the group consisting of  $C_{1-9}$  alkyl,  $C_{1-6}$  alkoxyalkyl, aryl, and heteroaryl, where each of said aryl or

- heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, and halogen.
- 32. (original) The compound of claim 29, wherein R<sup>d</sup> and R<sup>e</sup> are taken together to form a cycloalkyl or heterocyclyl group.
- 33. (original) The compound of claim 27, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>; R<sup>e</sup> is selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen; and R<sup>c</sup> and R<sup>d</sup> are taken together to form a divalent group selected from C<sub>1-6</sub> alkylidenyl, C<sub>1-6</sub> heteroalkylidenyl, C<sub>3-6</sub> cycloalkylidenyl, C<sub>3-6</sub> cycloalkyl-alkylidenyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkylidenyl, C<sub>3-6</sub> heterocyclyl-C<sub>1-3</sub> alkylidenyl, C<sub>3-6</sub> heterocyclylalkyl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, heteroaryl-C<sub>1-3</sub> alkylidenyl, and heteroarylalkyl-C<sub>1-3</sub> alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted.
- 34. (original) The compound of claim 33, wherein  $R^c$  and  $R^d$  are taken together to form a divalent group selected from  $C_{1-6}$  alkylidenyl,  $C_{3-6}$  cycloalkyl-alkylidenyl, aryl- $C_{1-3}$  alkylidenyl, and heteroaryl- $C_{1-3}$  alkylidenyl.
- 35. (original) The compound of claim 33, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>; R<sup>e</sup> is selected from the group consisting of C<sub>1-9</sub> alkyl, C<sub>1-6</sub> alkoxyalkyl, and heteroaryl, where the heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub>alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen; and R<sup>c</sup> and R<sup>d</sup> are taken together to form a divalent group selected from C<sub>1-6</sub> alkylidenyl, C<sub>3-6</sub> cycloalkyl-alkylidenyl, C<sub>3-6</sub> heterocyclyl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, and heteroaryl-C<sub>1-3</sub> alkylidenyl, wherein each of said aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, and dialkylamino.
- 36. (original) The compound of claim 27, wherein R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup> and R<sup>c</sup> is hydrogen.
- 37. (original) The compound of claim 36, wherein  $R^d$  and  $R^e$  are each independently selected from the group consisting of  $C_{1-9}$  alkyl,  $C_{1-6}$  alkoxyalkyl,  $C_{3-6}$  cycloalkyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of  $C_{1-6}$  alkyl, haloalkyl,  $C_{1-6}$  alkoxy, and halogen.

- 38. (original) The compound of claim 27, wherein R<sup>1</sup> is -NR<sup>a</sup>R<sup>b</sup>; -C(O)NR<sup>a</sup>R<sup>b</sup>; or -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>, where R<sup>c</sup> is -NR<sup>a</sup>"R<sup>b</sup>"; and, R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen and C<sub>1-9</sub>alkyl.
- 39. (original) The compound of claim 38, wherein R<sup>a</sup>, R<sup>b</sup>, R<sup>a<sup>m</sup></sup>, and R<sup>b<sup>m</sup></sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, heterocyclylalkyl, arylalkyl, and heteroarylalkyl.
- 40. (original) The compound of claim 38, wherein R<sup>a</sup> and R<sup>b</sup>, or R<sup>a<sup>m</sup></sup> and R<sup>b<sup>m</sup></sup>, are taken together with the nitrogen to which they are attached form an heterocyclyl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, and imidazoline, where each of said rings is optionally substituted with one or more substituents independently selected from the group consisting of hydroxy, oxo, alkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, and aminocarbonylamino, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group.
- 41. (original) The compound of claim 27, wherein R<sup>1</sup> is -NR<sup>a</sup>R<sup>b</sup>;
  - R<sup>a</sup> is selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-6</sub> alkoxyalkyl; and
  - $R^b$  is selected from the group consisting of  $C_{1-9}$  alkyl, hydroxyalkyl,  $C_{1-6}$  alkoxyalkyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkyl, heterocyclylalkyl, arylalkyl, and heteroarylalkyl.
- 42. (original) The compound of claim 27 wherein

R<sup>1</sup> is -CR<sup>c</sup>R<sup>d</sup>R<sup>e</sup>:

R<sup>c</sup> is -NR<sup>a</sup>"R<sup>b</sup>";

 $R^d$  and  $R^e$  are each independently selected from the group consisting of hydrogen and  $C_{1-9}$  alkyl;

 $R^{a^{m}}$  is selected from the group consisting of hydrogen,  $C_{1-9}$  alkyl, and  $C_{1-6}$  alkoxyalkyl; and

- $R^{b^m}$  is selected from the group consisting of  $C_{1-9}$  alkyl, hydroxyalkyl,  $C_{1-6}$  alkoxyalkyl,  $C_{3-6}$  cycloalkyl- $C_{1-3}$  alkyl, heterocyclylalkyl, arylalkyl, and heteroarylalkyl, wherein each of said aryl or heteroaryl groups is optionally substituted.
- 43. (original) The compound of claim 27, wherein R<sup>1</sup> is aryl or heteroaryl where said aryl or heteroaryl is optionally substituted.
- 44. (original) The compound of claim 43, where said aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halogen, haloalkyl, cyano, and -NR<sup>a</sup>'R<sup>b</sup>', where R<sup>a'</sup> and R<sup>b'</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-9</sub> alkylcarbonyl.

45. (original) A pharmaceutical composition comprising a therapeutically effective amount of at least one compound of formula I

$$\mathbb{R}^{1}$$
 $\mathbb{N}^{-\mathbb{R}^{2}}$  (I)

wherein:

 $R^1$  is  $-NR^aR^b$ ,  $-CR^cR^dR^e$ ,  $CO_2R^a$ , or  $-C(O)NR^aR^b$ ; or  $R^1$  is hydrogen, cycloalkenyl, aryl, or heteroaryl, where each aryl or heteroaryl is optionally substituted with one or more substituents independently selected from  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio,  $C_{1-6}$  alkylsulfonyl, halogen, haloalkyl, cyano, nitro,  $-C(O)NR^aR^b$ , and  $-NR^aR^b$ , where  $R^a$  and  $R^b$  are each independently selected from the group consisting of hydrogen,  $C_{1-9}$  alkyl, and  $C_{1-9}$  alkylcarbonyl;

R<sup>2</sup> is hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylsulfonyl, aryl, or arylalkyl, wherein said aryl or arylalkyl is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, and halogen;

R<sup>3</sup> is aryl or heteroaryl, each optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfonyl, aminosulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, halogen, haloalkyl, cyano, nitro, and -NR<sup>a</sup>"R<sup>b</sup>", where R<sup>a</sup>" and R<sup>b</sup>" are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, and C<sub>1-9</sub> alkylcarbonyl;

R<sup>a</sup> and R<sup>b</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylthioalkyl, carboxyalkyl, acyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, di-C<sub>3-6</sub> cycloalkylC<sub>1-3</sub> alkyl, C<sub>1-6</sub> heteroalkyl, aminocarbonylalkyl, cyanoalkyl, C<sub>5-8</sub> heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenylalkyl, and C<sub>1-3</sub> alkyl substituted with both a C<sub>3-6</sub> cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and

halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R<sup>a</sup> and R<sup>b</sup> are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4homopiperidine, tetrahydropyridine, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, tetrahydroisoquinoline, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

R<sup>c</sup> is hydrogen, hydroxy, C<sub>1-6</sub> alkoxy, or -NR<sup>a</sup>"R<sup>b</sup>";

R<sup>d</sup> and R<sup>e</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylthioalkyl, heteroalkyl, heterocyclyl, heterocyclylalkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, di-C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C<sub>1-3</sub>alkyl, and C<sub>1-3</sub> alkyl substituted with both a C<sub>3-6</sub> cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, and halogen; or

R<sup>c</sup> and R<sup>d</sup> are taken together to form a divalent group selected from C<sub>1-6</sub> alkylidenyl, C<sub>1-6</sub> heteroalkylidenyl, C<sub>3-6</sub> cycloalkylidenyl, C<sub>3-6</sub> cycloalkyl-alkylidenyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkylidenyl, C<sub>3-6</sub> heterocyclylidenyl, C<sub>3-6</sub> heterocyclyl-C<sub>1-3</sub> alkylidenyl, C<sub>3-6</sub> heterocyclylalkyl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, aryl-C<sub>1-3</sub> alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, and halogen; or

- R<sup>d</sup> and R<sup>e</sup> are taken together with the carbon to which they are attached to form a cycloalkyl or heterocyclyl ring;
- R<sup>a™</sup> and R<sup>b™</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-9</sub> alkyl, hydroxyalkyl, C<sub>1-6</sub> alkoxyalkyl, C<sub>1-6</sub> alkylthioalkyl, carboxyalkyl, acyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, di-C<sub>3-6</sub> cycloalkyl-C<sub>1-3</sub> alkyl, C<sub>1-6</sub> heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C<sub>5-8</sub> heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C<sub>1-3</sub> alkyl, and C<sub>1-3</sub> alkyl substituted with both a C<sub>3-6</sub> cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl, haloalkyl, C<sub>1-6</sub> alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or
- Ra" and Rb" are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, 1,2,3,4homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, tetrahydroisoquinoline, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C<sub>1-6</sub>alkyl, haloalkyl, C<sub>1-6</sub>alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

or individual isomers, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts thereof; in admixture with at least one pharmaceutically acceptable carrier.

46-48. (Canceled)

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